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Patent and Trademark Office

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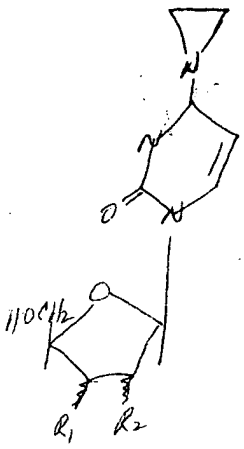

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Date completed: 7-26-93

Searcher: Ross

Terminal time:

Elapsed time:

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Total time:

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- ____ N.A. Sequence
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- ____ Structure
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- X STN
- ____ Dialog
- ____ APS
- ____ Geninfo
- ____ SDC
- ____ DARC/Questel
- ____ Other

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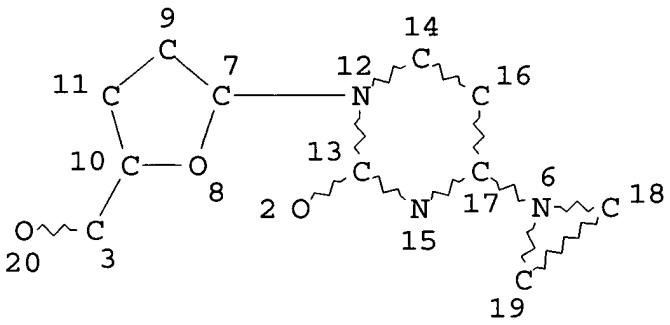


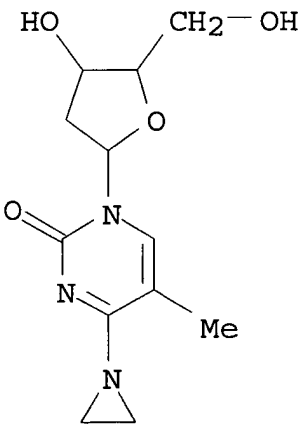
NODE ATTRIBUTES: NONE

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 17
L9 6 SEA FILE=REGISTRY SSS FUL L6

100.0% PROCESSED 491 ITERATIONS 6 ANSWERS
SEARCH TIME: 00.00.06

=> d l9 ide can 1-6

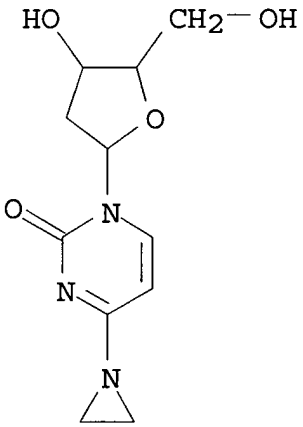
L9 ANSWER 1 OF 6 COPYRIGHT 1993 ACS
RN 109389-28-8 REGISTRY
CN 2(1H)-Pyrimidinone, 4-(1-aziridinyl)-1-(2-deoxy-.beta.-D-erythro-pentofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)
MF C12 H17 N3 O4
SR CA
LC CA
DES 5:B-D-ERYTHRO



1 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: CA107(15):134617t

L9 ANSWER 2 OF 6 COPYRIGHT 1993 ACS
RN 109389-27-7 REGISTRY
CN 2(1H)-Pyrimidinone, 4-(1-aziridinyl)-1-(2-deoxy-.beta.-D-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)
MF C11 H15 N3 O4
SR CA
LC CA
DES 5:B-D-ERYTHRO

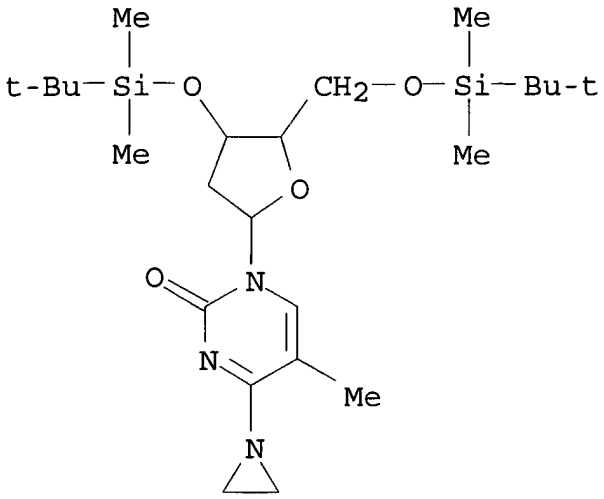


2 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: P CA116(17):166275g

REFERENCE 2: CA107(15):134617t

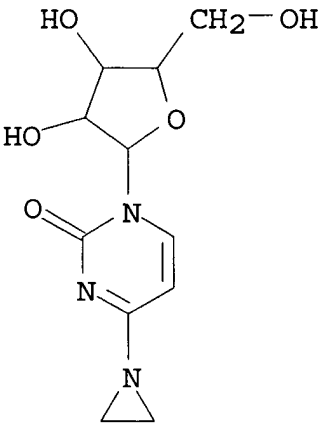
L9 ANSWER 3 OF 6 COPYRIGHT 1993 ACS
RN 109389-26-6 REGISTRY
CN 2(1H)-Pyrimidinone, 4-(1-aziridinyl)-1-[2-deoxy-3,5-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-.beta.-D-erythro-pentofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)
MF C24 H45 N3 O4 Si2
SR CA
LC CA
DES 5:B-D-ERYTHRO



1 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: CA107(15):134617t

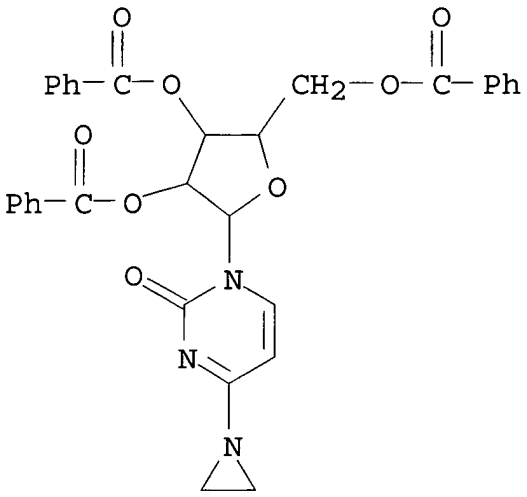
L9 ANSWER 4 OF 6 COPYRIGHT 1993 ACS
RN 91338-41-9 REGISTRY
CN 2(1H)-Pyrimidinone, 1-.beta.-D-arabinofuranosyl-4-(1-aziridinyl)-(7CI) (CA INDEX NAME)
MF C11 H15 N3 O5
LC CAOLD
DES 5:B-D-ARABINO



1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

L9 ANSWER 5 OF 6 COPYRIGHT 1993 ACS
RN 62951-89-7 REGISTRY
CN 2(1H)-Pyrimidinone, 4-(1-aziridinyl)-1-(2,3,5-tri-O-benzoyl-.beta.-D-

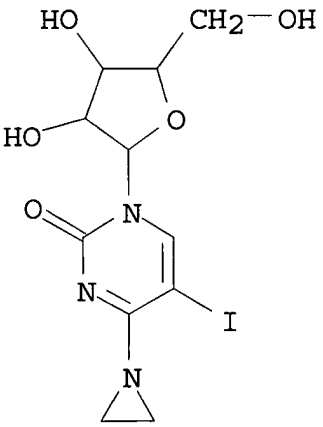
ribofuranosyl)- (9CI) (CA INDEX NAME)
MF C32 H27 N3 O8
LC CA
DES 5:B-D-RIBO



1 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: CA86(25):184707r

L9 ANSWER 6 OF 6 COPYRIGHT 1993 ACS
RN 25130-33-0 REGISTRY
CN 2(1H)-Pyrimidinone, 1-.beta.-D-arabinofuranosyl-4-(1-aziridinyl)-5-iodo- (8CI) (CA INDEX NAME)
MF C11 H14 I N3 O5
LC CA, IFICDB, IFIPAT, IFIUDB
DES 5:B-D-ARABINO



1 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: P CA71(11):50465s

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4 L9
0 L9/D
L10 4 L9 OR L9/D

=> d bib abs hitrn 1-4

L10 ANSWER 1 OF 4 COPYRIGHT 1993 ACS
AN CA116(17):166275g
TI Sequence-specific nonphotoactivated crosslinking agents which bind to the major groove of duplex DNA, and their use as therapeutics
AU Matteucci, Mark D.; Krawczyk, Steven
CS Gilead Sciences, Inc.
LO USA
SO PCT Int. Appl., 39 pp.
PI WO 9118997 A1 12 Dec 1991
DS W: AU, CA, JP, KR
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE
AI WO 91-US3680 24 May 1991
PRAI US 90-529346 25 May 1990
US 91-640654 14 Jan 1991
IC ICM C12P019-34
ICS C12Q001-00; C12Q001-64; G01N033-00; G01N033-564; G01N033-566
SC 1-12 (Pharmacology)
SX 6
DT P
CO PIXXD2
PY 1991
LA Eng
AN CA116(17):166275g
AB Agents which bind to the major groove of nucleic acid duplexes in a sequence-specific manner and are capable of forming covalent bonds with one or both strands of the duplex in the absence of light are useful therapeutic agents in the treatment of conditions mediated by duplex DNA. These agents are designed so that the reactivity of the crosslinking agent does not interfere with the sequence specificity of the agent which binds to the major groove. Thus, specific desired

DNA duplexes can be targeted and their activity diminished or enhanced. Oligonucleotides contg. N4,N4-ethanocytosine deoxynucleotide were prepd. and tested for sequence-specific binding.

IT **109389-27-7**
(oligonucleotides contg., for binding to duplex DNA major groove and crosslinking, for therapeutic)

L10 ANSWER 2 OF 4 COPYRIGHT 1993 ACS
AN CA107(15):134617t
TI Hybridization triggered cross-linking of deoxyoligonucleotides
AU Webb, Thomas R.; Matteucci, Mark D.
CS Dep. Mol. Biol., Genentech, Inc.
LO San Francisco, CA 94080, USA
SO Nucleic Acids Res., 14(19), 7661-74
SC 33-10 (Carbohydrates)
SX 6
DT J
CO NARHAD
IS 0305-1048
PY 1986
LA Eng
AN CA107(15):134617t
AB Oligodeoxynucleotides contg. the modified base 5-methyl-N4,N4-ethanocytosine (Ce) were prepd. on polymer support. The 9-fluorenylmethoxycarbonyl group was used as a protecting group for the exocyclic amines of dA and dC. This group can be removed rapidly under very mild conditions. Oligomers contg. the Ce base form a cross-link when hybridized to their complementary deoxyoligonucleotides. Some of the scope and limitations of these cross-link forming oligonucleotides are reported.

IT **109389-26-6P 109389-27-7P 109389-28-8P**
109389-32-4P 109389-33-5P 109420-85-1P 109420-86-2P
(prepn. of, for synthesis of oligodeoxynucleotides)

L10 ANSWER 3 OF 4 COPYRIGHT 1993 ACS
AN CA86(25):184707r
TI Synthesis of polynucleotides which contain 3,N4-ethanocytidine, a nucleoside modification resulting from the action of bis(chloroethyl)-nitrosourea
AU Murphy, Michael J.; Goldman, Edward J.; Ludlum, David B.
CS Sch. Med., Univ. Maryland
LO Baltimore, Md., USA
SO Biochim. Biophys. Acta, 475(3), 446-52
SC 6-2 (General Biochemistry)
SX 33, 1
DT J
CO BBACAQ
PY 1977
LA Eng
AN CA86(25):184707r

AB The nucleoside, 3,N4-ethanocytidine (I), presumably results from cyclization of 3-chloroethylcytidine formed initially by transfer of chloroethyl carbonium ions from N,N'-bis(2-chloroethyl)-N-nitrosourea to cytidine, which is widely used for the treatment of certain neoplastic diseases. To study the significance of this deriv., I was synthesized to the corresponding 5'-mono- and diphosphates. I diphosphate succesfully converted to a high-mol.-wt. polymer.

IT **62951-89-7P**
(prepn. and rearrangement of)

L10 ANSWER 4 OF 4 COPYRIGHT 1993 ACS
AN CA71(11):50465s
TI 1-(.beta.-D-Arabinofuranosyl)-5-halocytosines
AU Hunter, James H.
CS Upjohn Co.
SO Fr., 12 pp.
PI FR 1513754 16 Feb 1968
PRAI US 24 Feb 1966
IC C07D; A61K
SC 33 (Carbohydrates)
DT P
CO FRXXAK
PY 1968
LA Fr
AN CA71(11):50465s
AB (.beta.-D-Arabinofuranaosyl)cytosines (I) are prepd. from N-halosuccinimides. Thus, a mixt. of 547 mg. 1-(.beta.-D-arabinofuranosyl)cytosine and 5 ml. HOAc is heated, 334 mg. N-chlorosuccinimide is added, and the mixt. is heated 2 hrs., cooled to 8.degree., and concd. at 50.degree.. The product is treated with 4 ml. N HOAc, the mixt. is filtered through Celite, and the filtrate chromatographed (Dowex 50W X2) to give 37.2 mg. 1-(.beta.-D-arabinofuranosyl)-5-chlorocytosine (II), m. 211-14.degree.; II [m. 212.5-14.5.degree., [.alpha.]23D 89.degree. (all in HCONMe2)] is also prepd. from the HCl salt of the starting cytosine. Similarly prepd. are the following I [R, R1, X, m.p., and [.alpha.]23D given]: H, H, Br, 195-5.8.degree., 60.degree.; H, H, iodine, 204-5.degree., 22.degree. [HCl salt m. 166-9.degree. (decompn.)]; (RR1N=) pyrrolidinyl, iodine, -, -; H, Me, iodine, -, -; and the following compds. (m.p. and [.alpha.]23D given): 5-chloro-1-(.beta.-D-ribofuranosyl)cytosine, 200-2.degree., -; 5-iodo-1-(.beta.-D-ribofuranosyl)cytosine, -, -; 5-iodo-1-(.beta.-D-lyxofuranosyl)cytosine, 196.5-7.5.degree., 9.degree.; 5-iodo-1-(.beta.-D-xylofuranosyl)cytosine, 205.8-6.2.degree., -18.degree. (0.1N HCl). Also prepd., according to the known methods, are the following compds. (m.p. and [.alpha.]23D given): 1-(2,3,5-tri-O-acetyl-.beta.-D-arabinofuranosyl)-4-thiouracil, -, -; I (R = Me, R1 = X = H), 257-60.degree., I (R = Me, R1 = X = H)-HCl, 182.5-84.degree., 127.degree. (water).

IT 1147-23-5P 13491-42-4P 17676-65-2P 17676-66-3P 17676-67-4P

25130-27-2P 25130-28-3P 25130-29-4P 25130-30-7P 25130-31-8P
25130-32-9P **25130-33-0P** 25159-19-7P
(prepn. of)

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L11  ANSWER 1 OF 1  COPYRIGHT 1993 ACS
AN CA61:4468a
DT P
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     96679-17-3  97834-40-7  97834-41-8  98178-53-1  98178-54-2
     98249-80-0  98249-82-2  99004-92-9
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